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New fluoroazetidinone derivs. - useful as intermediates for fluorocarbapenem antibiotics

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Abstract (Basic): JP 63017859 A

New fluoroazetidinone derivs. are of formula (I). In (I) R1 is H or trialkylsilyl; R2 is H or amino-protecting gp.

The reaction is carried out in presence of an imidazole (e.g. imidazole at a temp. of -78 to 100 deg.C. Redn. of (IV) is achieved with L-selecdride, zinc borohydride, NaBH4, diisobutyl-aluminium 2,6-di-t-butyl-4-methylphenoxide, etc. in a solvent chosen from THF, Et2O, MeOH, EtOH, benzene, toluene, xylene, etc. according to the reducing agent used. The reaction proceeds smoothly at -80 deg.C or room temp. Fluorination of (V) is achieved with diethylaminofluorochloroethane, phenyltetrafluoro-phosphorane, difluorotriphenylphosphorane, diethylaminosulphur trifluoride, piperidinosulphur trifluoride, perfluoropropene-dialkylamine, etc. The reaction is conducted in a solvent, e.g. CH2C12, CHC13, Et2O, THF, at a temp. of -110 - 100 deg.C, pref. -11=-25 deg.C.

USE/ADVANTAGE - (I) is useful as intermediate in prepn. of fluorocarbapenem antibiotics. (I) may be prepd. from diketene (II) and cpd. (III).

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Title Terms: NEW; FLUORO; AZETIDINONE; DERIVATIVE; USEFUL; INTERMEDIATE; FLUORO; CARBA; PENEM; ANTIBIOTIC
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